

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1994:30773 CAPLUS
 DN 120:30773
 TI Oxadiazole derivatives having acetylcholinesterase-inhibitory
 and muscarinic receptor agonist activity
 IN Takasugi, Hisashi; Kuno, Atsushi; Ohkubo, Mitsuru
 PA Fujisawa Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 149 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------------------------|------|----------|------------------------------------|----------|
| PI | WO 9313083 | A1 | 19930708 | WO 1992-JP1658 | 19921218 |
| | W: AU, CA, HU, JP, KR, RU, US | | | GB, GR, IE, IT, LU, MC, NL, PT, SE | |
| | RW: AT, BE, CH, DE, DK, ES, FR, | | | GB 1991-27533 | 19911231 |
| | | | | GB 1992-20904 | 19921005 |
| | AU 9331714 | A1 | 19930728 | AU 1993-31714 | 19921218 |
| | | | | GB 1991-27533 | 19911231 |
| | | | | GB 1992-20904 | 19921005 |
| | EP 619814 | A1 | 19941019 | WO 1992-JP1658 | 19921218 |
| | R: AT, BE, CH, DE, DK, ES, FR, | | | EP 1993-900416 | 19921218 |
| | | | | GB 1991-27533 | 19911231 |
| | | | | GB 1992-20904 | 19921005 |
| | JP 07502529 | T2 | 19950316 | WO 1992-JP1658 | 19921218 |
| | | | | JP 1992-511547 | 19921218 |
| | | | | GB 1991-27533 | 19911231 |
| | | | | GB 1992-20904 | 19921005 |
| | US 5622976 | A | 19970422 | WO 1992-JP1658 | 19921218 |
| | | | | US 1994-244904 | 19940624 |
| | | | | GB 1991-27533 | 19911231 |
| | | | | GB 1992-20904 | 19921005 |
| | | | | WO 1992-JP1658 | 19921218 |

Patel

<10/13/2003>

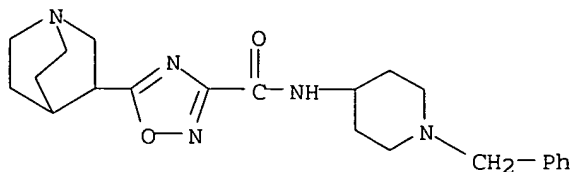
OS MARPAT 120:30773

IT 151097-86-8P 151097-87-9P 151307-60-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and acetylcholinesterase inhibitory and muscarinic receptor
 agonist activity of)

RN 151097-86-8 CAPLUS

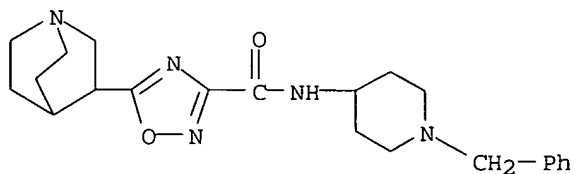
CN 1,2,4-Oxadiazole-3-carboxamide, 5-(1-azabicyclo[2.2.2]oct-3-yl)-N-[1-
 (phenylmethyl)-4-piperidiny]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 151097-87-9 CAPLUS

CN' 1,2,4-Oxadiazole-3-carboxamide, 5-(1-azabicyclo[2.2.2]oct-3-yl)-N-[1-
 (phenylmethyl)-4-piperidiny]- (9CI) (CA INDEX NAME)



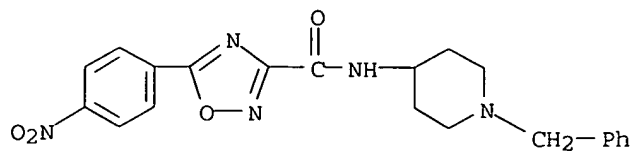
RN 151307-60-7 CAPLUS

CN 1,2,4-Oxadiazole-3-carboxamide, 5-(4-nitrophenyl)-N-[1-(phenylmethyl)-4-
 piperidiny]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151307-59-4

CMF C21 H21 N5 O4

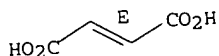


CM 2

10069215.2

CRN -110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



AB The title compds. R1QZXAM [A = direct bond, lower alkylene, lower alkynylene; M = (un)substituted heterocyclic group contg. \geq 1 N atom(s); Q = oxadiazole-diyl; R1 = lower alkyl, (un)substituted heterocyclic group, (un)substituted aryl, (un)substituted arylalkyl, (un)substituted aralkenyl; X = direct bond, CONR4, R8CN; R4 = H, alkyl; R8 = HO, protected HO group, CO, NHCO; Z = direct bond, vinyl (sic)], useful for the treatment of central nervous system disorders (e.g., amnesia, Alzheimer's disease, vascular dementia, etc.) mode data, are prepd. Thus, 3-ethoxycarbonyl-5-(quinuclidin-3-yl)-1,2,4-oxadiazole and 1-benzyl-4-(2-aminoethyl)piperidine were heated together in soln. at 100.degree. for 2 h and treated with an ethanolic soln. of HCl, producing 5-(quinuclidin-3-yl)-3-[[2-(1-benzylpiperidin-4-yl)ethyl]carbamoyl]-1,2,4-oxadiazole dihydrochloride, m.p. 210.degree. (decompn.).